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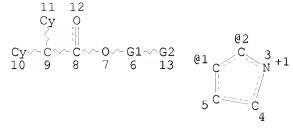


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FILE COVERS 1907 - 2 Mar 2010  VOL 152 ISS 10
FILE LAST UPDATED: 1 Mar 2010  (20100301/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Dec 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html
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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4          12 L3 AND PY<=2003

=> d bib abs 1-12

L4   ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2010 ACS on STN
AN   2003:837081  CAPLUS
DN   139:337885
TI   Preparation of acyloxypyrrolidinium salts as M3 muscarinic antagonists
IN   Prat Quinones, Maria; Fernandez Forner, Maria Dolors
PA   Almirall Prodesfarma S.A., Spain
SO   PCT Int. Appl., 72 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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PI   WO 2003087094       A2     20031023   WO 2003-EP3786         20030411 <--
     WO 2003087094       A3     20040318
          W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
              PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
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     ES 2206021          A1     20040501   ES 2002-889            20020416
     ES 2206021          B1     20050801
     CA 2482536          A1     20031023   CA 2003-2482536        20030411 <--
     AU 2003233967       A1     20031027   AU 2003-233967         20030411 <--
     AU 2003233967       B2     20090806
     EP 1497284          A2     20050119   EP 2003-727294         20030411
          R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     BR 2003009167       A      20050125   BR 2003-9167           20030411
     NZ 535884           A      20071130   NZ 2003-535884         20030411
     RU 2320657          C2     20080327   RU 2004-133371         20030411
     MX 2004010076       A      20041213   MX 2004-10076          20041013
     IN 2004DN03157      A      20070112   IN 2004-DN3157         20041013
     ZA 2004008335       A      20051102   ZA 2004-8335           20041014
     NO 2004004826       A      20050114   NO 2004-4826           20041105
     US 20050282875      A1     20051222   US 2005-510680         20050720
     US 7192978          B2     20070320
     US 20070129420      A1     20070607   US 2007-648581         20070103
PRAI ES 2002-889         A      20020416
     WO 2003-EP3786      W      20030411
     US 2005-510680      A3     20050720
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS   MARPAT 139:337885
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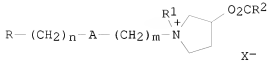

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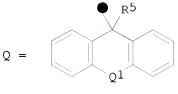

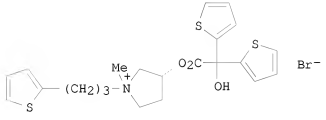

II

AB Pyrrolidinium derivs. I [R = (un)substituted Ph, naphthalenyl, 5,6,7,8-tetrahydronaphthalenyl, benzo[1,3]dioxolyl, biphenyl, heteroarom.; R1 = alkyl; R2 = CR3R4R5, Q; R3 = 2-furyl, 3-furyl, 2-thienyl, 3-thienyl; R4 = 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, cycloalkyl; R5 = H, OH, Me, CH2OH; Q1 = CH2, CH2CH2, O, OCH2, S, SCH2, CH:CH; A = (un)substituted CH:CH, CH2, CO, O, S, S(O), SO2, NH; m = 0-8; n = 0-4] were prepared for use in therapy as antagonists of M3 muscarinic receptors (no data). Thus, (3R)-3-pyrrolidinol was treated with 2-(3-bromopropyl)thiophene to give (3R)-1-(3-thien-2-ylpropyl)pyrrolidinol which was treated with Me 2-hydroxy-2,2-dithen-2-ylacetate and quaternized to give the pyrrolidinium salt II.

OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2002:889558 CAPLUS

DN 137:369966

TI Preparation of enantiomerically pure basic [(cyclopentyl- or cyclohexylhydroxyphenylacetyl)oxy]-1,1-dimethylpyrrolidinium salts, their muscarinic receptor binding affinity, and use as treatment for obstructive respiratory disease

IN Noe, Christian; Mutschler, Ernst; Lambrecht, Gunter; Elgert, Michael; Elgert, Ruth Irene; Czeche, Sittah; Waelbroeck, Magali

PA Germany

SO U.S. Pat. Appl. Publ., 19 pp., Cont.-in-part of U.S. 6,307,060.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

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PI	US 20020173536	A1	20021121	US 2001-901217	20010709 <--
	US 6613795	B2	20030902		
	WO 9821183	A1	19980522	WO 1997-AT245	19971111 <--
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	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 1369414	A1	20031210	EP 2003-5233	19971111 <--
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	EP 1371645	A1	20031217	EP 2003-5232	19971111 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, AL				
	US 6307060	B1	20011023	US 1999-309960	19990511 <--
	US 20030220400	A1	20031127	US 2003-601542	20030623 <--
	US 7253182	B2	20070807		
PRAI	AT 1996-1973	A	19961111		
	WO 1997-AT245	W	19971111		
	US 1999-309960	A2	19990511		
	EP 1997-911049	A3	19971111		
	US 2001-901217	A3	20010709		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 137:369966

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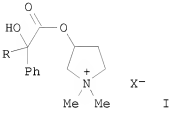


I

AB Disclosed are enantiomerically pure cyclic aminoalc. esters of arylcycloalkylhydroxycarboxylic acids with at least 90% enantiomeric excess of the (3R,2'R), (3S,2'R), (3R,2'S), or (3S,2'S) configured enantiomer. Thus, [(cyclopentyl (or cyclohexyl) hydroxyphenylacetyl)oxy] pyrrolidinium salts I (R = cyclopentyl, cyclohexyl, X = bromide, iodide, fluoride, chloride) were prepared by reacting (3R) or (3S)-1-methyl-3-pyrrolidinol with the corresponding phenylacetate, followed by preparation of the tartrate intermediates and quaternization. Inhalable powder and aerosol formulations of the compds. were also prepared The muscarinic binding affinity of I were examined using rabbit vas deferens, guinea pic atrium, guinea pig ileum, and human M1, M2, and M3 receptors.

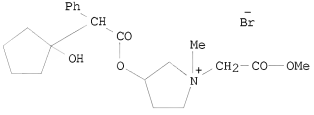
OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2002:154498 CAPLUS

DN 137:15684

TI Studies on a soft glycopyrrolate analog, SG-1
AU Ji, F.; Wu, W.-M.; Bodor, N.
CS Center for Drug Discovery, University of Florida, Gainesville, FL, USA
SO Pharmazie (2002), 57(2), 138-141
CODEN: PHARAT; ISSN: 0031-7144
PB Govi-Verlag Pharmazeutischer Verlag GmbH
DT Journal
LA English
GI



I

AB A short-acting soft drug analog (I) of glycopyrrolate (G) was developed by retrometabolic design in order to minimize systemic side effects and optimize the therapeutic index. I was synthesized by: (a) esterification of phenylacetic acid with N-methyl-3-pyrrolidinol by DCC to obtain N-methyl-3-pyrrolidinyl phenylacetate; (b) reaction of lithium salt of above phenylacetates with cyclopentanone to obtain N-methyl-3-pyrrolidinyl 3-(1'-hydroxycyclopentyl)phenylacetate; and (c) quaternization with Me bromoacetate in acetonitrile to give the designed product. To evaluate the pharmacol. effect of I, its mydriatic activity in rabbit eyes was compared to that of glycopyrrolate. At the pharmacodynamically equivalent doses (the lowest dose that induces the maximum response) of I (1%) and glycopyrrolate (0.1%), the mydriatic activities lasted for 5 and 100 h, resp. Compared to glycopyrrolate, the intrinsic pupil dilation potency of I was lower (.apprx.1/10th) but the duration of action was much shorter (<1/20th) as I is susceptible to facile enzymic hydrolysis/deactivation in the rabbit eyes. In vitro metabolism and stability investigations further supported this finding. In vitro half lives of I in rat plasma, blood, and 20% liver and lung tissue homogenates were 15.62, 53.86, 263.43, and 318.35 min, resp. In human plasma and blood, half-lives were 19.93 and 88.32 min, resp. I was relatively stable under acidic conditions (pH 5 and lower). I is a promising, clin. useful short acting anticholinergic.
OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:78639 CAPLUS
DN 137:194970
TI Receptor binding studies of soft anticholinergic agents
AU Huang, Fenglei; Buchwald, Peter; Browne, Clinton E.; Farag, Hassan H.; Wu, Whei-Mei; Ji, Fubao; Hochhaus, Guenther; Bodor, Nicholas
CS Center for Drug Discovery, College of Pharmacy, University of Florida, Gainesville, FL, 32610-0497, USA
SO PharmSci [online computer file] (2001), 3(4), No pp. given
CODEN: PHARFY; ISSN: 1522-1059
URL: http://www.pharmsci.org/scientificjournals/pharmsci/journal/pdf/01_30.pdf

PB American Association of Pharmaceutical Scientists
DT Journal; (online computer file)
LA English
OS CASREACT 137:194970
AB Receptor binding studies were performed on 24 soft anticholinergic agents and 5 conventional anticholinergic agents using 4 cloned human muscarinic receptor subtypes. The measured pKi values of the soft anticholinergic agents ranged from 6.5 to 9.5, with the majority being in the range of 7.5 to 8.5. Strong correlation was observed between the pKis determined here and the p42 values measured earlier in guinea pig ileum contraction assays. The corresponding correlation coeffs. (r2) were 0.80, 0.73, 0.81, and 0.78 for pKi(m1), pKi(m2), pKi(m3), and pKi(m4), resp. Quant. structure-activity relationship (QSAR) studies were also performed, and good characterization could be obtained for the soft anticholinergics containing at least 1 tropine moiety in their structure. For these compds., the potency as measured by the pKi values was found to be related to geometric, electronic, and lipophilicity descriptors. A linear regression equation using ovality (Oe),dipole moment (D), and a calculated log octanol-water partition coefficient (QLogP) gave reasonably good descriptions (r = 0.88) for the pKi(m3) values.
OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:51415 CAPLUS
DN 136:118468
TI Preparation of 2-aryl-2-hydroxyacetic acid ester derivatives as muscarinic M3 receptor antagonists
IN Ogino, Yoshio; Kurihara, Hideki; Matsuda, Kenji; Numazawa, Tomoshige; Otake, Norikazu; Noguchi, Kazuhito
PA Banyu Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002004402	A1	20020117	WO 2001-JP5987	20010710 <--
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AU 2001071027	A	20020121	AU 2001-71027	20010710 <--
CA 2415468	A1	20030110	CA 2001-2415468	20010710 <--
EP 1302458	A1	20030416	EP 2001-949925	20010710 <--
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AU 2001271027	B2	20050707	AU 2001-271027	20010710
US 20030191316	A1	20031009	US 2003-332617	20030110 <--
US 6846835	B2	20050125		
US 20050065211	A1	20050324	US 2004-983613	20041109
US 7192969	B2	20070320		

	US 2007029397	A1	20070607	US 2007-648614	20070103
	US 7504432	B2	20090317		
PRAI	JP 2000-210591	A	20000711		
	WO 2001-JP5987	W	20010710		
	US 2003-332617	A3	20030110		
	US 2004-983613	A3	20041109		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 136:118468

AB Compds. of the general formula ArC(OH)(R1)CO2A [wherein A is a group of the general formula -B1-N+R2R3R4.X- or -B2-NR5CR6:NR7; Ar is aryl or heteroaryl, any of which may be substituted; B1 and B2 are each an aliphatic hydrocarbon group; R1 is fluorinated cycloalkyl; R2, R3 and R4 are each lower alkyl, or a single bond or alkylene, any of which is bonded to B1, or alternatively R2 and R3 may be united to form alkylene; R5 and R7 are each hydrogen, lower alkyl, or a single bond or alkylene, any of which is bonded to B2; R6 is hydrogen, lower alkyl, or N(R8)R9; R8 and R9 are independently hydrogen or lower alkyl; and X- is an anion] are prepared Thses compds. exhibit selective muscarinic M3 receptor antagonism with little side effects and are suitable for administration by inhalation and useful as therapeutic agents for respiratory system diseases including chronic obstructive pulmonary diseases, chronic bronchitis, asthma, chronic airway obstruction, pulmonary fibrosis, pulmonary emphysema, or rhinitis. Thus, reductive methylation of piperidin-4-yl (2R)-((1R)-3,3-difluoropentyl)-2-hydroxy-2-phenylethanoate by formaldehyde and sodium cyanoborohydride in the presence of ZnCl2 in MeOH at room temperature for 30 min gave 1-methylpiperidin-4-yl (2R)-((1R)-3,3-difluoropentyl)-2-hydroxy-2-phenylethanoate which was quaternized by Me bromide in MeCN at room temperature for 15 h to give 4-[[(2R)-2-((1R)-3,3-difluorocyclopentyl)-2-hydroxy-2-phenylethanoyl]oxy]-1,1-dimethylpiperidinium bromide (I). In a muscarinic receptor M2 and M3 antagonism assay, 4-(((2R)-2-((1R)-3,3-difluorocyclopentyl)-2-hydroxy-2-phenylethanoyl)oxy)-1,1-dimethylpiperidinium bromide in vitro exhibited KB of 9.6 nM for inhibiting the carbachol-induced reduction in heart beat in rat right atrium (muscarinic receptor M2 receptor) and that of 0.004 nM for inhibiting the carbachol-induced contraction of trachea (muscarinic receptor M3 receptor) with M2/M3 receptor ratio of 218. An ampule or a powder inhalation formulation containing I were described.

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2000:192082 CAPLUS

DN 133:758

TI Design, synthesis, and pharmacological evaluation of soft glycopyrrolate and its analog

AU Ji, F.; Huang, F.; Juhasz, A.; Wu, W.; Bodor, N.

CS Center for Drug Discovery, College of Pharmacy, University of Florida, Gainesville, FL, USA

SO Pharmazie (2000), 55(3), 187-191
CODEN: PHARAT; ISSN: 0031-7144

PB Govi-Verlag Pharmazeutischer Verlag

DT Journal

LA English

AB Glycopyrrolate is a quaternary anticholinergic drug. Like for other anticholinergics, the usefulness of this agent is limited by its side effects. In this study, based on the structure of glycopyrrolate, we designed a soft drug, methoxycarbonylphenylcyclopentylacetoxy-N,N-dimethyl-3-pyrrolidinium Me sulfate (SG), and its analog, methoxycarbonylphenylcyclopentylacetoxyethyl-N,N,N-trimethylammonium Me

sulfate (SGA). These soft drugs are expected to be locally active, but systemically inactive in order to increase therapeutic index. SG and SGA were synthesized by (i) carboxylation of Me phenylcyclopentylacetate, (ii) esterification with N-methyl-3-pyrrolidinol (for SG) or 2-chloro-N,N-dimethylaminoethane (for SGA), and (iii) quaternization with di-Me sulfate. Receptor binding studies demonstrate that SG has muscarinic subtype selectivity (m3/m2). Guinea pig ileum pA2 assay indicates that activity of SG is moderate, and SG is about ten times more potent than SGA. The in vivo characterization of SG and SGA, both in mydriasis tests and in prevention of carbachol induced bradycardia, supported its soft nature. Applying SG or SGA into rabbit eyes, the dilation of the contralateral (water-treated) pupils was not observed Glycopyrrolate application, however, caused dilation of the contralateral pupil, indicating a systemic effect of this drug. Cardiac studies were carried out by evaluating the protective effect of soft anticholinergics against carbachol induced bradycardia. The results indicate that SG and SGA were as potent as atropine-MeBr in preventing carbachol induced bradycardia in the rat; however, their durations of action were significantly shorter. In conclusion, the newly synthesized SG and SGA showed soft nature in the body. They are anticholinergics with subtype selectivity and moderate potency, and can be used as topical antiperspirants.

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1998:341543 CAPLUS
DN 129:27887
OREF 129:5943a,5946a
TI Preparation of 1,1-dialkylpyrrolidinium-3-yl α-cycloalkylmandelate diastereomers and analogs as muscarinic M3 receptor ligands
IN Noe, Christian R.; Mutschler, Ernst; Lambrecht, Gunter; Elgert, Michael; Czeche, Sittah; Waelbroeck, Magali
PA Germany
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

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PI	WO 9821183	A1	19980522	WO 1997-AT245	19971111	<--
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	AU 745331	B2	20020321			
	EP 937041	A1	19990825	EP 1997-911049	19971111	<--
	EP 937041	B1	20030423			
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	CN 100391942	C	20080604			

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HU 9903791	A3	20011029			
NZ 336202	A	20001027	NZ 1997-336202	19971111	<--
JP 2001504459	T	20010403	JP 1998-521942	19971111	<--
AT 238280	T	20030515	AT 1997-911049	19971111	<--
PT 937041	E	20030930	PT 1997-911049	19971111	<--
ES 2195121	T3	20031201	ES 1997-911049	19971111	<--
EP 1369414	A1	20031210	EP 2003-5233	19971111	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, AL					
EP 1371645	A1	20031217	EP 2003-5232	19971111	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, AL					
RU 2238936	C2	20041027	RU 1999-112115	19971111	
PL 195520	B1	20070928	PL 1997-332595	19971111	
NO 9901056	A	19990511	NO 1999-1056	19990303	<--
NO 314354	B1	20030310			
US 6307060	B1	20011023	US 1999-309960	19990511	<--
US 20020173536	A1	20021121	US 2001-901217	20010709	<--
US 6613795	B2	20030902			
PRAI AT 1996-1973	A	19961111			
EP 1997-911049	A	19971111			
WO 1997-AT245	W	19971111			
US 1999-309960	A2	19990511			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 129:27887
GI

AB Title compds. [I; R = R1R4C(OH)CO2; R1 = (un)substituted cycloalkyl; R4 = (hetero)aryl; Z = NR2R3A; A = pharmacol. acceptable acid conjugate base; R2,R3 = (halo)alk(en)yl, (halo)alkynyl; Z1 = (CH2)1-3] were prepared Thus, (S)-1-methyl-3-pyrrolidinol was esterified by PhCR1(OH)CO2Me (R1 = cyclopentyl) and the resolved product quaternized to give (S)-I [R = (S)-PhCR1(OH)CO2, R1 = cyclopentyl, Z = NMe2I, Z1 = CH2]. Data for biol. activity of I were given.
OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1998:58955 CAPLUS
DN 128:132422
OREF 128:25915a,25918a
TI Methods and compositions for treating urinary incontinence using enantiomerically enriched (SR)-glycopyrrolate
IN Fabiano, Vincent L.; McCullough, John R.
PA Sepracor, Inc., USA
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9800109	A1	19980108	WO 1997-US11639	19970627 <--
	W: US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 909163	A1	19990421	EP 1997-931548	19970627 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	US 1996-21156P	P	19960701		
	WO 1997-US11639	W	19970627		

AB A method for treating urinary incontinence, such as incontinence resulting from bladder detrusor muscle instability, using enantiomerically enriched (SR)-glycopyrrolate (I). The method comprises administering a therapeutically effective amount of enantiomerically enriched I, or a pharmaceutically acceptable salt thereof, substantially free of the (R,S)-enantiomer. Pharmaceutical comps. for the treatment of urinary incontinence comprising enantiomerically enriched I, or a pharmaceutically acceptable salt thereof, and an acceptable carrier are also disclosed. The antimuscarinic, spasmolytic, and Ca entry blocking effects of models of receptor binding and bladder function were studied for I.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1998:55524 CAPLUS
DN 128:119670
OREF 128:23363a,23366a
TI Methods and compositions for treating urinary incontinence using enantiomerically enriched (SS)-glycopyrrolate
IN Fabiano, Vincent L.; McCullough, John R.
PA Sepracor, Inc., USA
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9800133	A1	19980108	WO 1997-US11645	19970627 <--
	W: US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 920313	A1	19990609	EP 1997-932472	19970627 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6063808	A	20000516	US 1998-214169	19981229 <--
PRAI	US 1996-21020P	P	19960701		
	WO 1997-US11645	W	19970627		

AB A method for treating urinary incontinence, such as incontinence resulting from bladder detrusor muscle instability, using enantiomerically enriched (S,S)-glycopyrrolate (I). The method comprises administering a therapeutically effective amount of enantiomerically enriched I, or a pharmaceutically acceptable salt thereof, substantially free of the (R,R)-glycopyrrolate enantiomer. Pharmaceutical comps. for the treatment of urinary incontinence comprising enantiomerically enriched I or a pharmaceutically acceptable salt thereof, and an acceptable carrier are also disclosed. Pharmacol. data are given for binding to muscarinic receptor subtypes, Ca channels, and antimuscarinic-antispasmodic activity.

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1998:55485 CAPLUS
DN 128:136512
OREF 128:26699a,26702a
TI Methods and compositions for treating urinary incontinence using enantiomerically enriched (R,R)-glycopyrrolate
IN Fabiano, Vincent L.; McCullough, John R.
PA Sepracor, Inc., USA
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9800016	A1	19980108	WO 1997-US11644	19970627 <--
	W: US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 932401	A1	19990804	EP 1997-931551	19970627 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6204285	B1	20010320	US 1998-214168	19981229 <--
PRAI	US 1996-20947P	P	19960701		
	WO 1997-US11644	W	19970627		

AB A method is disclosed for treating urinary incontinence, e.g. incontinence resulting from bladder detrusor muscle instability, using enantiomerically enriched (R, R)-glycopyrrolate. The method comprises administering a therapeutically effective amount of enantiomerically enriched (R, R)-glycopyrrolate, or a pharmaceutically acceptable salt thereof, substantially free of the (S, S)-glycopyrrolate enantiomer. Pharmaceutical compns. for the treatment of urinary incontinence, comprising enantiomerically enriched (R, R)-glycopyrrolate, or a pharmaceutically acceptable salt thereof, and an acceptable carrier, are also disclosed.
OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1965:54408 CAPLUS
DN 62:54408
OREF 62:9664f-g
TI The antiperspirant action of topically applied anticholinergics
AU MacMillan, F. S. Kilmer; Reller, Herbert H.; Synder, Fred H.
CS Procter & Gamble Co., Cincinnati, OH
SO Journal of Investigative Dermatology (1964), 43, 363-78
CODEN: JIDEAE; ISSN: 0022-202X
DT Journal
LA English
AB Various esters of atropine and scopolamine were most effective in inhibiting sweating after topical application, especially esters of scopolamine-HBr. The effective compds. included esters with straight-chain, branched, cyclic aliphatic, and aromatic groups. Their effectiveness was attributable to their ability to penetrate the skin; as much as 5-10% of the amount applied was absorbed. No systemic after-effects were observed following repeated use of 0.025% solns. over the same area. Benzoylscopolamine did not cause skin irritation or sensitization.
OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1963:59688 CAPLUS
DN 58:59688
OREF 58:10174d-f
TI (1-Methyl-2-pyrrolidyl)methyl α-phenyl-α-cyclohexylglycolate
PA Laboratoires Dausse, S.A.
SO 14 pp.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR M1434		19620910	FR	19610719 <--
	FR 1328916			FR	
PRAI	FR		19610719		

GI For diagram(s), see printed CA Issue.

AB HCl gas was passed through 270 g. 1-2-hydroxymethyl-1-methylpyrrolidine in 4.5 l. Et2O to give the HCl salt (I), SOCl2 (395 ml.) was added slowly with cooling, the mixture kept 1 hr. at room temperature, and heated 3 hrs. at 100°, 500 ml. C6H6 added, the solution evaporated, and the residue washed with C6H6 and Et2O to give 376 g. 1-2-chloromethyl-1-methylpyrrolidine HCl salt, m. 162° (MeCOEt), [α]D-5.04 ± 0.05° (50%, H2O). Alc. KOH (1.66N) (361.3 ml.) was added dropwise to 139 g. dl-α-phenyl-α-cyclohexylglycolic acid in 300 ml. EtOH followed by 102 g. I in 500 ml. EtOH and 361.3 ml. 1.66N alc. KOH, the mixture refluxed 15 min., kept 3 days, filtered, the filtrate evaporated in vacuo, the residue dissolved in 3 l. Et2O, washed with 10% Na2CO3 and H2O, dried, the solution evaporated, the oil dissolved in 1.5 l. iso-PrOH, HCl gas passed in to pH 3-4, and the solution cooled to give 33% 1-(1-methyl-2-pyrrolidyl)methyl-1-α-phenyl-α-cyclo-hexylglycolate HCl salt (1-II), m. 220-2°; free base [αD -21 ± 0.7° (4.8, alc.), -18.4 ± 0.7° (4%, Me2CO); EtBr salt m. 169-71°, [α]D + 10.1 ± 1° (4.3%, alc.). II was similarly prepared in 72% yield from 1-α-phenyl-α-cyclohexylglycolic acid (III). II, [α]D 0.9 ± 0.9° (1%, alc.), -5.2 ± 1° (0.93%, H2O), was also prepared in 52% yield by refluxing 40 g. III and 23 g. I for 8 hrs. in 250 ml. iso-PrOH and cooling the mixture overnight. II has antispasmolytic properties.